

10/524048

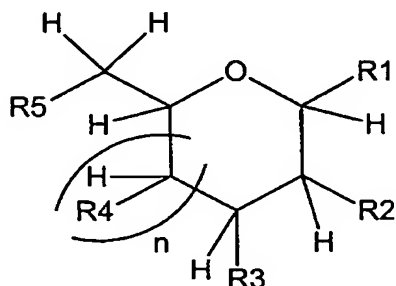
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BM1 Rec'd PCT/US 08 FEB 2005

CLAIMS:

1. A compound of formula I being a derivative of a furanose or pyranose form of a monosaccharide,

5



formula I

Wherein, n is 0 or 1;

R1 is XR wherein,

10

X is selected from O; S; S=O and SO₂,

R is selected from the group consisting of C1 to C9 alkyl, C1 to C15 alkenyl, C1 to C15 alkynyl, C1 to C15 heteroalkyl, C6 to C15 aryl, C6 to C15 heteroaryl, C6 to C15 arylalkyl or C6 to C15 heteroarylalkyl which is optionally substituted, cyclic or acyclic, branched and/or linear,

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the groups R2 to R5 are selected from OH, OR and N(Y)Z such that:

at least one of the groups R2 to R5 and not more than two of the groups R2 to R5 are OH,

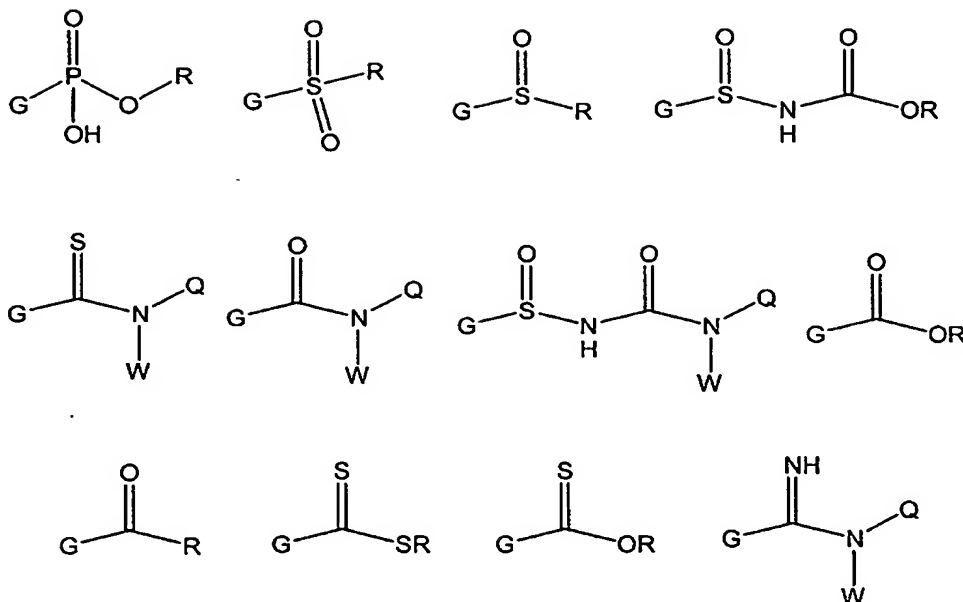
20

at least one of the groups R2 to R5 and not more than two of the groups R2 to R5 are OR, where R is defined above, with the proviso that when two of the groups R2 to R5 are OR, OR is an ether type moiety and the R groups may not both be methyl or unsubstituted benzyl,

25

at least one of the groups R2 to R5 and not more than two of the groups R2 to R5 are N(Y)Z, where Z is selected from hydrogen or R and Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Y)Z, the N(Y)Z moieties may not be the same;

50



and the groups Q and W are independently selected from hydrogen or R as is defined above, and Q and W may combine to form a cycle,

the groups Z and Y may combine to form a cycle,

- 5 the groups R1 to R5 may not combine together to form a cycle,

with the proviso that where two groups in the compound of formula I are N(Y)Z, these groups are different,

- with the further proviso that when either R2 or R5 is N(Y)Z, N(Y)Z may not be trifluoroacetamido, acetamido, benzyloxycarbonylamino or t-butoxycarbonylamino,
- 10

- with the further proviso that when R2 is N(Y)Z, N(Y)Z may not be phthalimido, 4-[N-[1-(4,4-dimethyl-2,6-dioxocyclo-hexylidene)-3-methylbutyl]-amino}benzyl ester (ODmab), N-1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl (Dde), 2,2,2-Trichloroethoxycarbonyl (Troc), 9-Fluorenylmethoxycarbonyl (Fmoc), or a 5-Acyl-1,3-dimethylbarbiturate type protecting group (DTPM),
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with the further proviso that when the compound is of the 2-deoxy-2-aminoglucose configuration and R5 and R4 are both hydroxyl, R3 may not be a glycolate [-

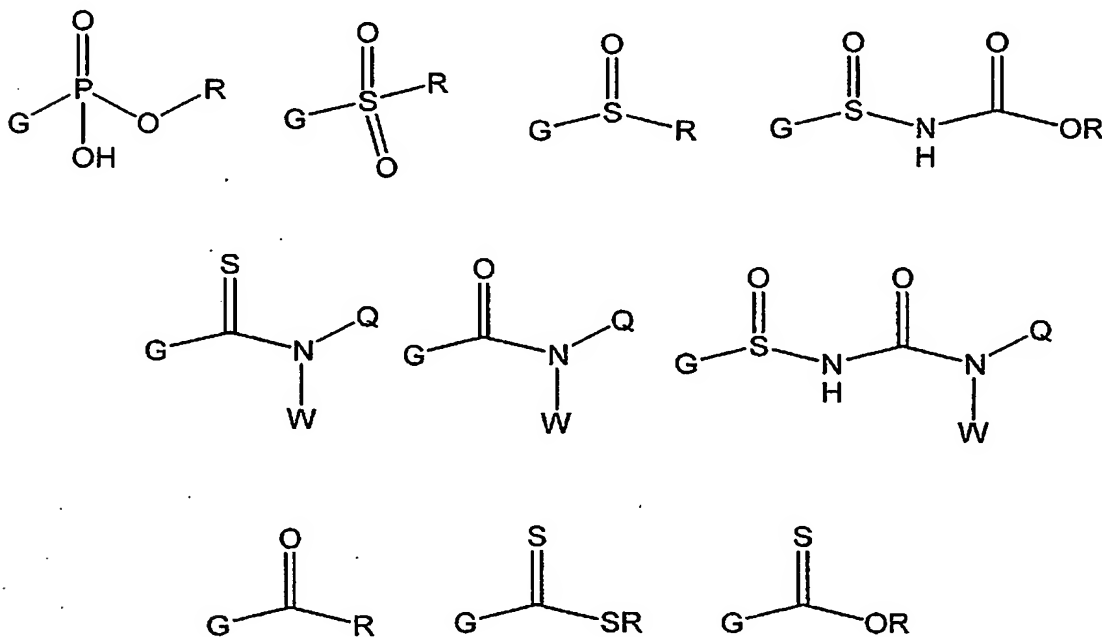
CH₂-CO₂H] or lactate ether [-CH(CH₃)-CO₂H] or an ester or amide derivative thereof.

2. The compound of claim 1 which is a derivative of a pyranose form of a monosaccharide and wherein n is 1.

3. The compound of claim 1 which is a derivative of a furanose form of a monosaccharide, and wherein n is 0.

4. The compound of claim 2, wherein n is 1,

at least one of the groups R₂ to R₅ and not more than two of the groups R₂ to R₅ are N(Y)Z, where Z is selected from hydrogen or R and Y is selected from the following, where G denotes the point of connection to the nitrogen atom in N(Y)Z, the N(Y)Z moieties may not be the same;



and the groups Q and W are independently selected from hydrogen or R as is defined above, with the proviso that Y and Z may not both be hydrogen and

where two groups in the compound of formula I are N(Y)Z, these groups are different,

the groups Z and Y may combine to form a cycle,

the groups R1 to R5 may not combine together to form a cycle,

- 5 with the proviso that where two groups in the compound of formula I are N(Y)Z, these groups are different,

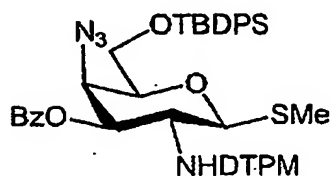
with the further proviso that when either R2 or R5 is N(Y)Z, N(Y)Z may not be azido, acetyl, benzyloxycarbonyl or t-butoxycarbonyl,

- 10 with the further proviso that when R2 is N(Y)Z, N(Y)Z may not be phthalimido, 4-[N-[1-(4,4-dimethyl-2,6-dioxocyclo-hexylidene)-3-methylbutyl]-amino}benzyl ester (ODmab), N-1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl (Dde), 2,2,2-Trichloroethoxycarbonyl (Troc), 9-Fluorenylmethoxycarbonyl (Fmoc), or a 5-Acyl-1,3-dimethylbarbiturate type protecting group (DTPM),

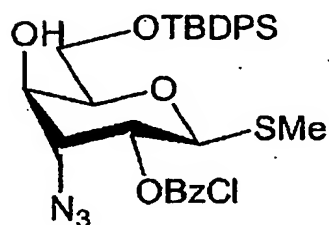
- 15 with the further proviso that when the scaffold is of the 2-deoxy-2-aminoglucose configuration and R5 and R4 are both hydroxyl, R3 may not be a glycolate [-CH₂-CO₂H] or lactate ether [-CH(CH₃)-CO₂H] or an ester or amide derivative thereof.

5. The compound of any one of claim 1-4 wherein the heteroarylalkyl
20 is substituted by a moiety from the group consisting of OH, NO, NO₂, NH₂, N₃, halogen, CF₃, CHF₂, CH₂F, nitrile, alkoxy, aryloxy, amidine, guanidiniums, carboxylic acid, carboxylic acid ester, carboxylic acid amide, aryl, cycloalkyl, heteroalkyl, heteroaryl, aminoalkyl, aminodialkyl, aminotrialkyl, aminoacyl, carbonyl, substituted or unsubstituted imine, sulfate, sulfonamide, phosphate,
25 phosphoramidate, hydrazide, hydroxamate, hydroxamic acid, heteroaryloxy, aminoalkyl, aminoaryl, aminoheteroaryl, thioalkyl, thioaryl or thioheteroaryl, which may be further substituted, with the proviso that the group R may not be or contain another saccharide moiety, a peptide, protein or amino acid.

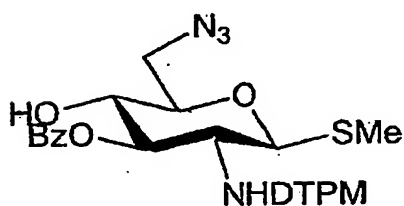
6. The compound of claim 1 which comprises, as a precursor



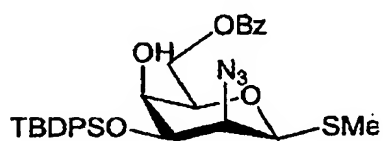
7. The compound of claim 1, which comprises as a precursor



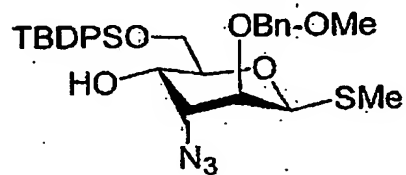
- 5 8. The compound of claim 1, which comprises as a precursor



9. The compound of claim 1, which comprises as a precursor

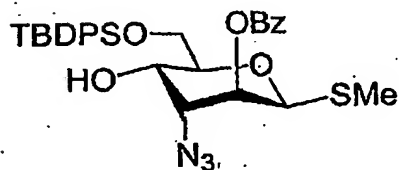


10. The compound of claim 1, which comprises as a precursor

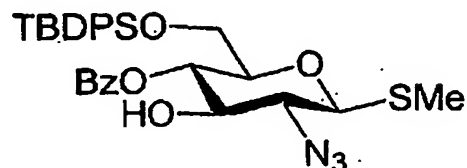


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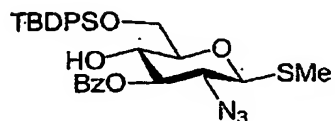
11. The compound of claim 1, which comprises as a precursor



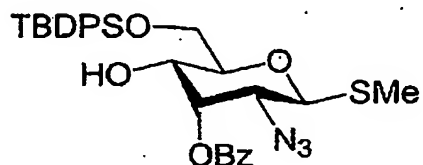
12. The compound of claim 1, which comprises as a precursor



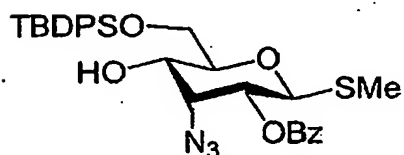
13. The compound of claim 1, which comprises as a precursor



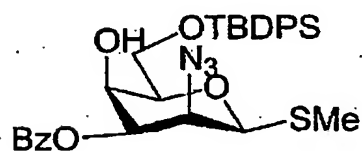
- 5 14. The compound of claim 1, which comprises as a precursor



15. The compound of claim 1, which comprises as a precursor

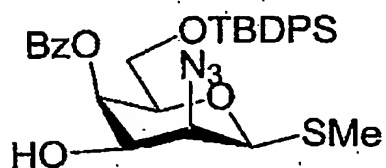


16. The compound of claim 1, which comprises as a precursor

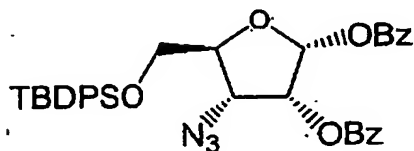


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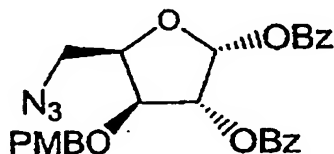
17. The compound of claim 1, which comprises as a precursor



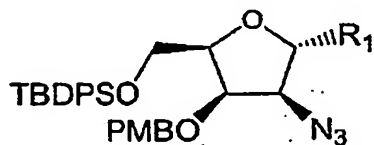
18. The compound of claim 1, which comprises as a precursor



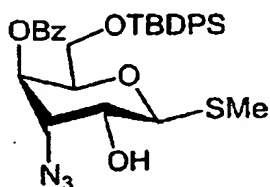
19. The compound of claim 1, which comprises as a precursor



- 5 20. The compound of claim 1, which comprises as a precursor



21. The compound of claim 1, which comprises as a precursor



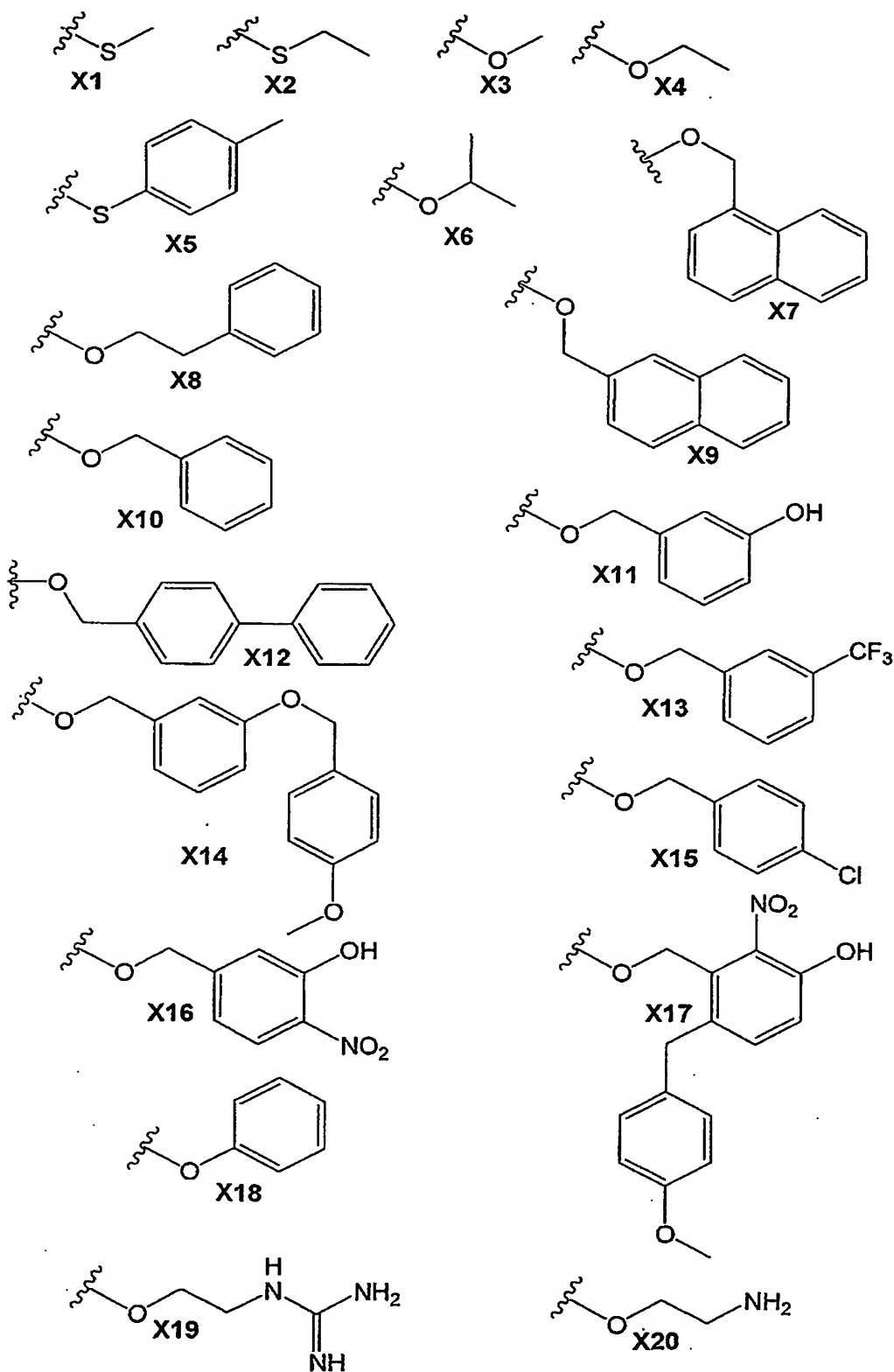
22. The compound of claim 1 which is immobilised to a support.

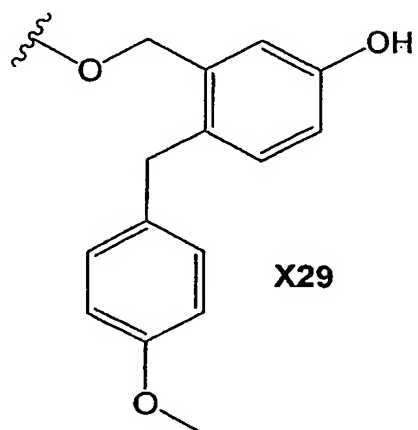
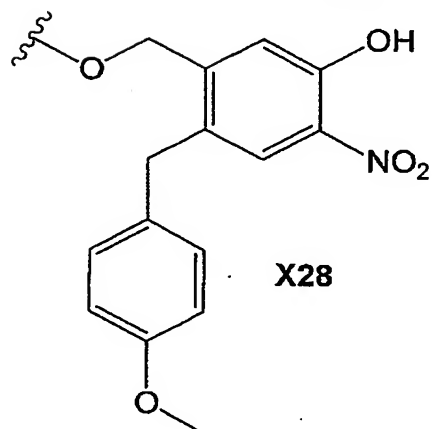
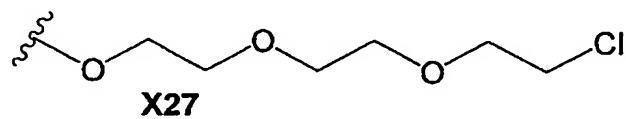
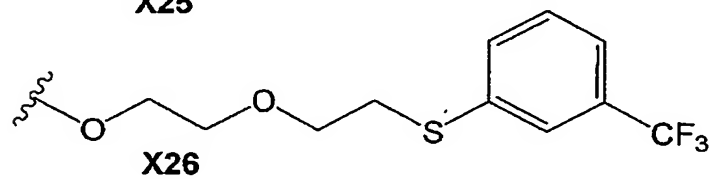
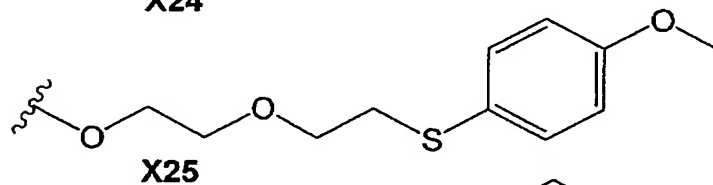
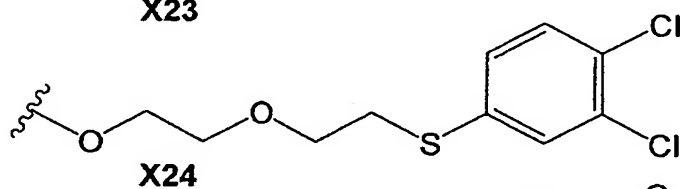
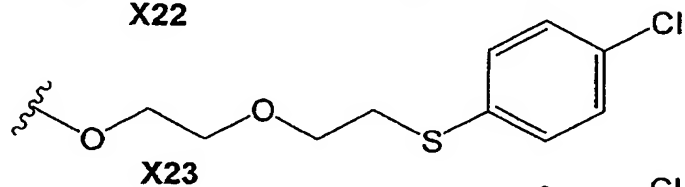
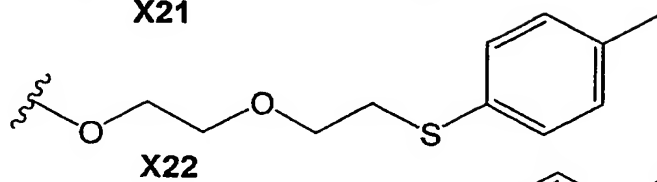
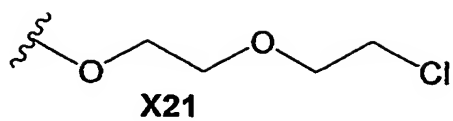
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23. The compound of claim 22, wherein the compound is immobilised to the support through a hydroxyl group.

- 24 The compound of claim 23, wherein the support is selected from the group consisting of derivatised polystyrene, tentagel, wang resin, MBHA resin, aminomethylpolystyrene, rink amide resin, DOX-mpeg and polyethylene glycol.
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25. The compound of claim 1, wherein R1 is selected from the group consisting of





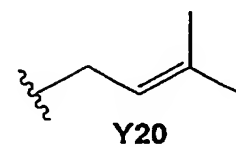
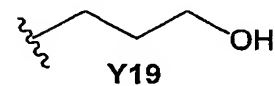
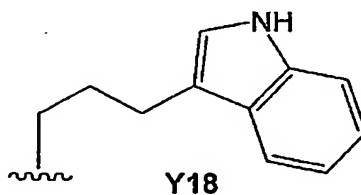
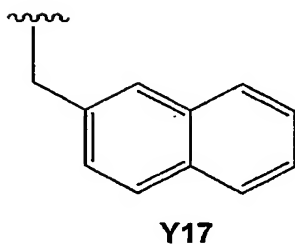
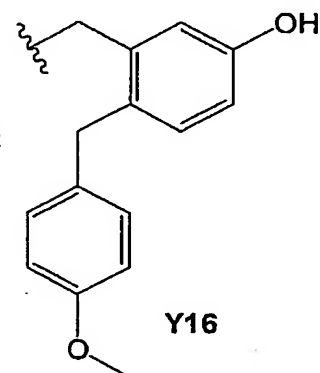
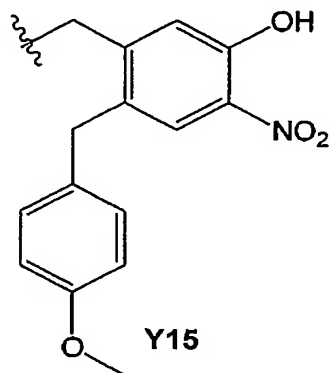
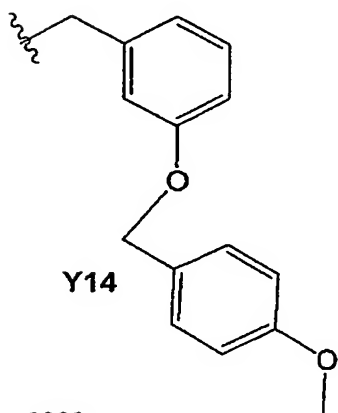
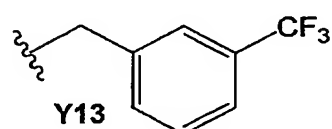
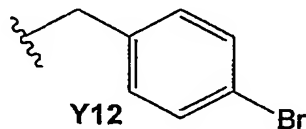
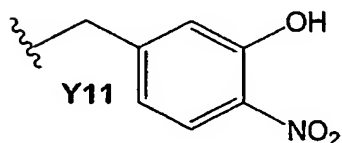
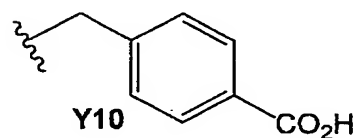
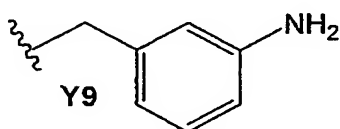
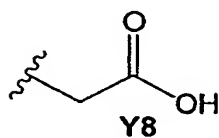
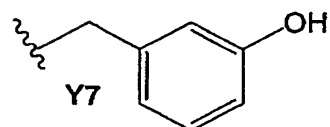
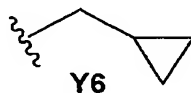
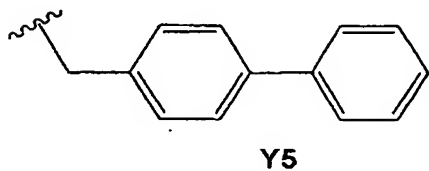
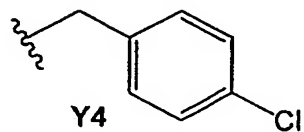
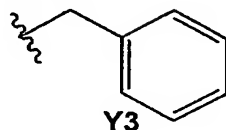
26. The compound of claim 1, wherein one of the R moieties in OR is selected from the group consisting of

Methyl

Y1

Ethyl

Y2



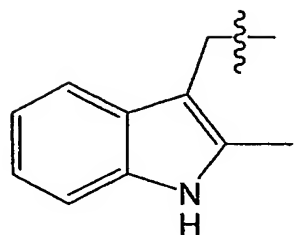
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Octyl

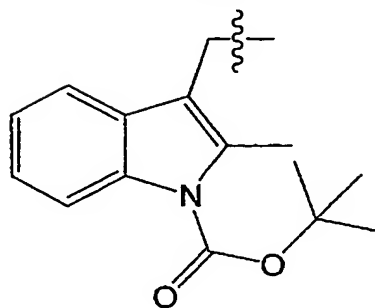
Y21

Y22

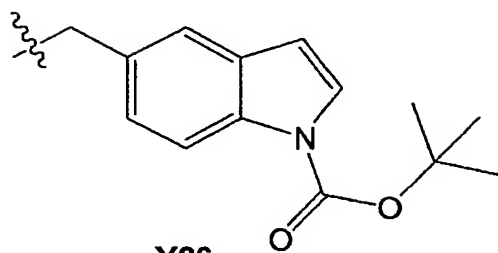
Y23



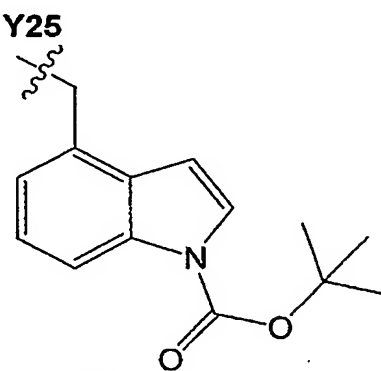
Y24



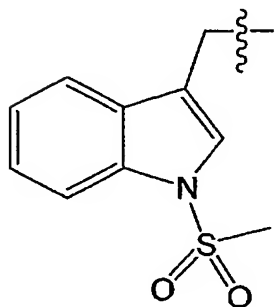
Y25



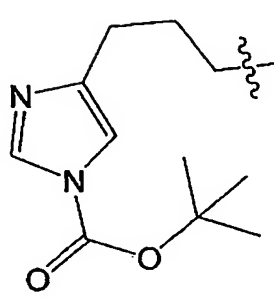
Y26



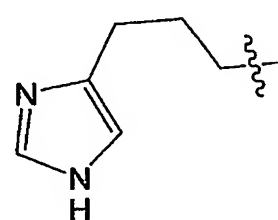
Y27



Y28

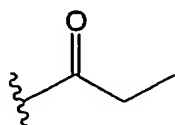


Y29

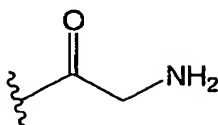


Y30

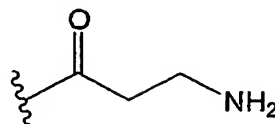
27. The compound of claim 1, wherein Z is selected from the group consisting of



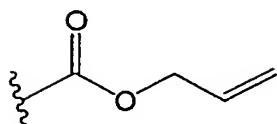
Z1



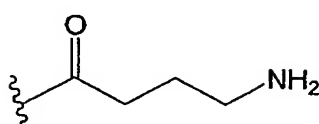
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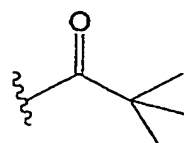
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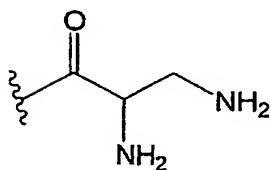
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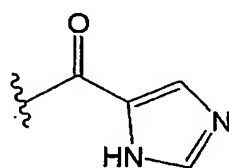
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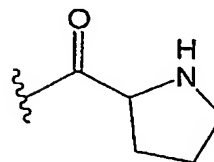
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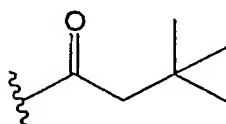
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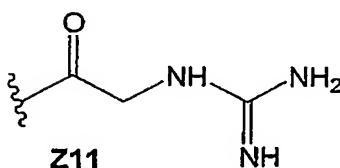
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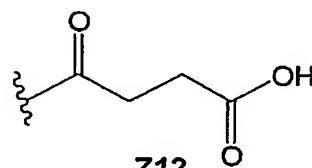
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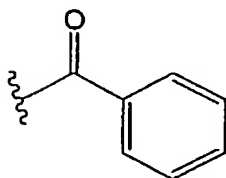
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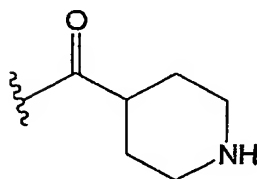
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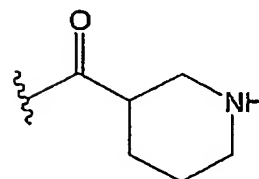
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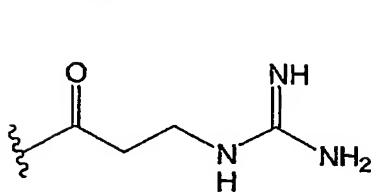
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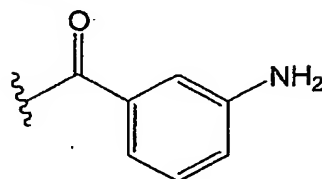
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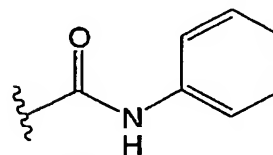
Z15



Z16

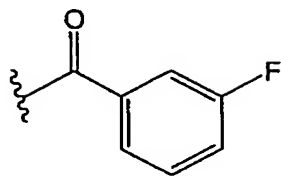


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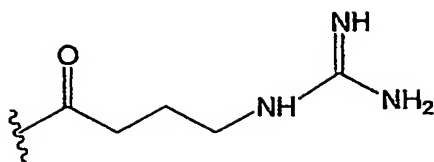


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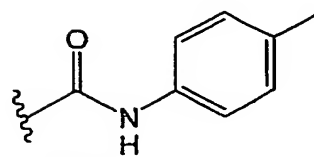
61



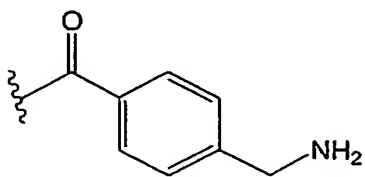
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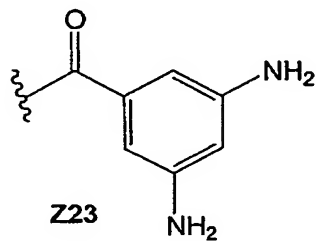
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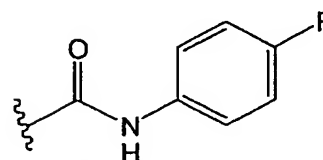
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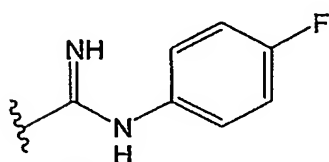
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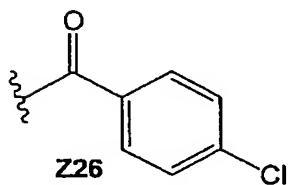
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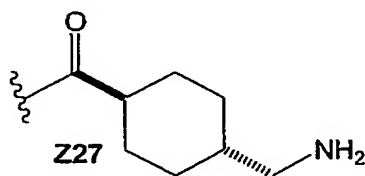
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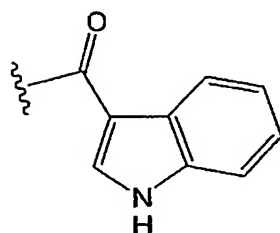
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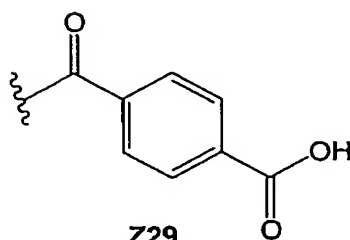
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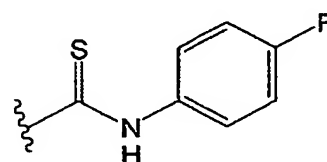
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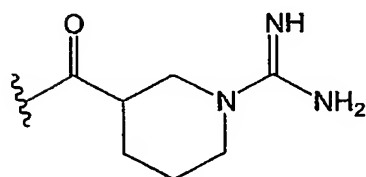
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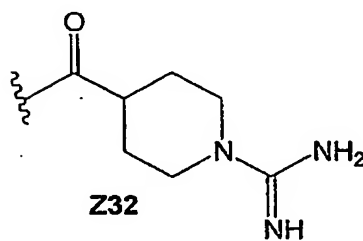
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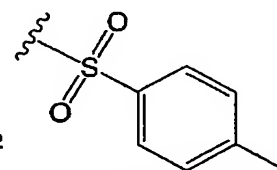
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Z31

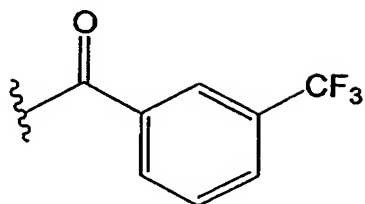


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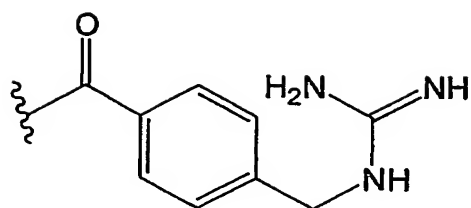


Z33

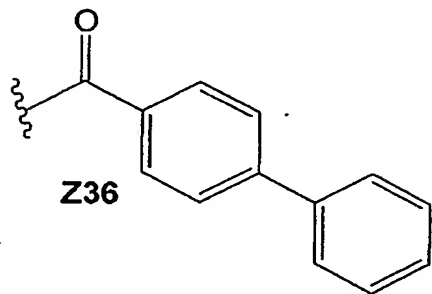
62



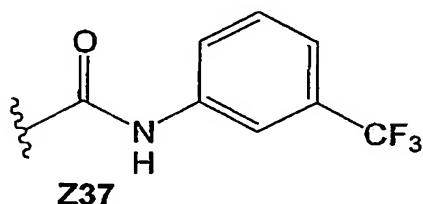
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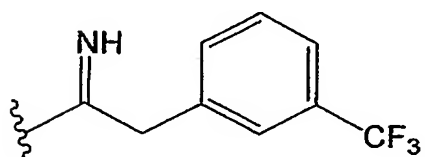
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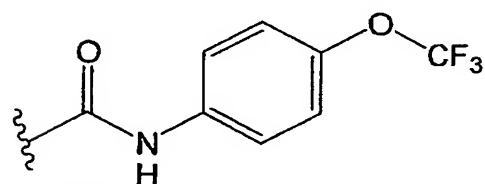
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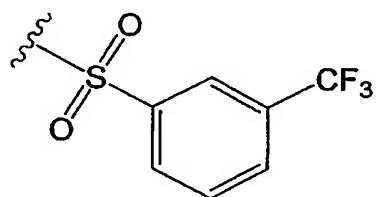
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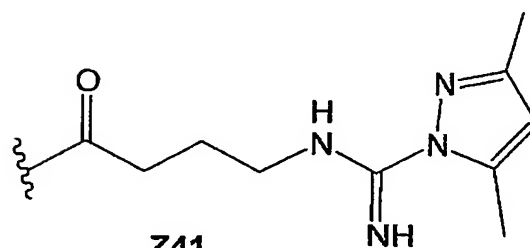
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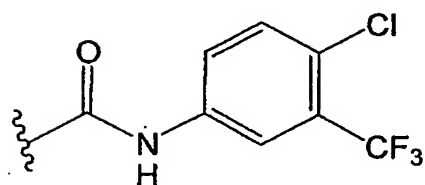
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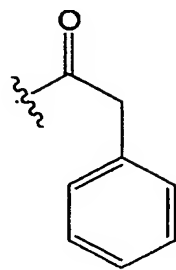
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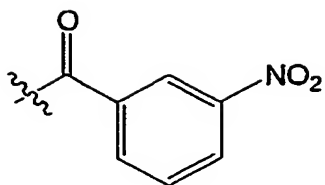
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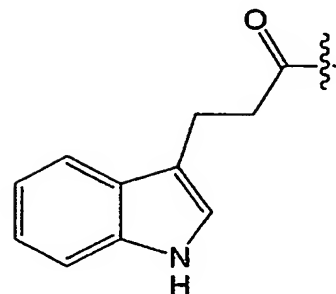
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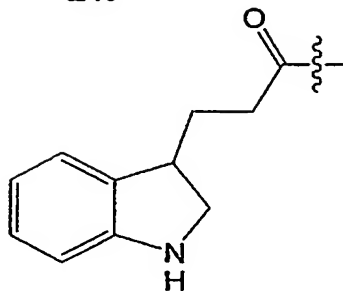
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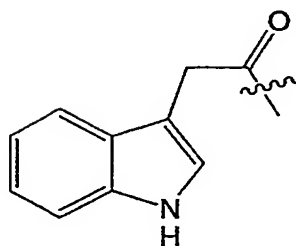
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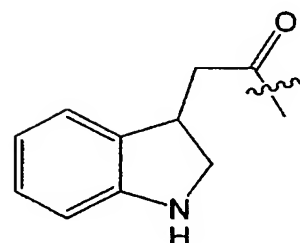
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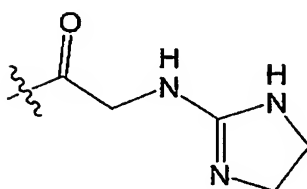
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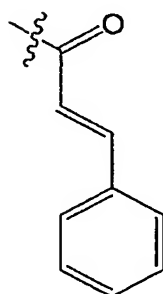
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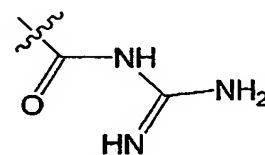
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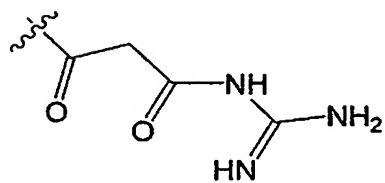
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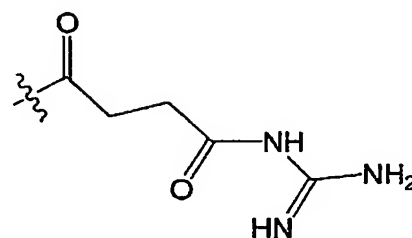
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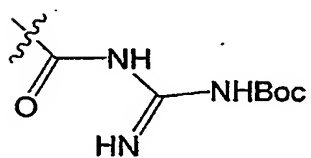
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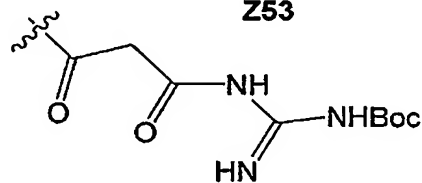
Z52



Z53

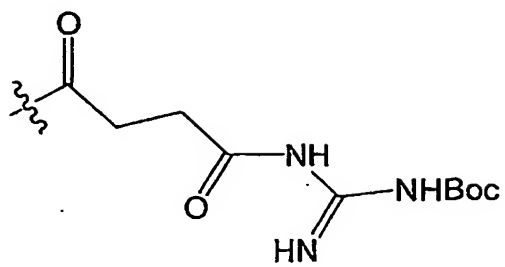


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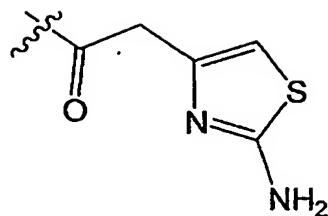


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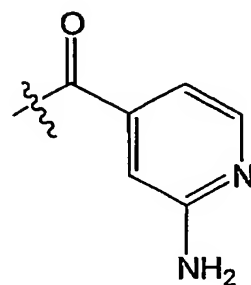
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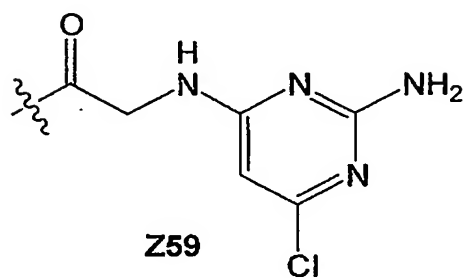
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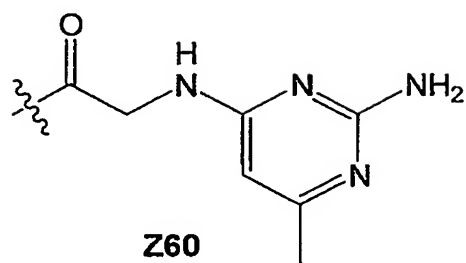
Z57



Z58



Z59



Z60